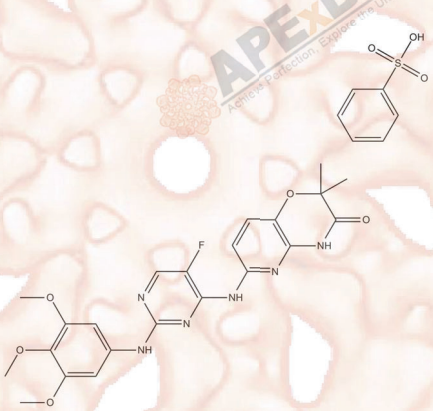
Product Data Sheet

R406

Cat. No.:	A8546
CAS No.:	841290-81-1
Formula:	C22H23FN6O5·C6H6O3S
M.Wt:	628.63
Synonyms:	
Target:	Tyrosine Kinase
Pathway:	Spleen Tyrosine Kinase (Syk)
Storage:	Store at -20°C



Solvent & Solubility

In Vitro

≥31.45mg/mL in DMSO

Preparing Stock Solutions

Concentration \ Solvent \ Mass	1mg	5mg	10mg
1 mM	1.5908 mL	7.9538 mL	15.9076 mL
5 mM	0.3182 mL	1.5908 mL	3.1815 mL
10 mM	0.1591 mL	0.7954 mL	1.5908 mL

Please refer to the solubility information to select the appropriate solvent.

Biological Activity

Shortsummary	SYK inhibitor,potent and ATP-competitive
IC_{50} & Target	41 nM (Syk)

In Vitro

Cell Viability Assay

Cell Line:	Human mast cells
Preparation method：	The solubility of this compound in DMSO is >10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.
Reacting conditions:	EC50: 56 nM, 30min
Applications:	Cells were incubated with R406 or DMSO for 30 min. They were then

		stimulated with either 0.25 to 2 mg/ml anti-IgE or anti-IgG or 2 μM ionomycin. R406 dose-dependently inhibited anti-IgE-mediated CHMC degranulation measured as tryptase release but showed no activity on ionomycin-triggered tryptase release, indicating that R406 is specific to FcR signaling and not degranulation per se. As intended, this specific inhibition also implies that R406 site of action is proximal to the receptor complex and upstream of calcium mobilization.
In Vivo	**Animal experiment**	
	Animal models:	Female C57BL/6 mice
	Dosage form:	Oral administration, 0.1, 0.5, 1 and 5 mg.kg
	Applications:	Mice were used for the model of immune complex - mediated inflammation. The ability of R406 to inhibit the reverse passive Arthus reaction was investigated in this model. Prophylactic treatment of mice with R406 administered 1 h before immune complex challenge reduced the cutaneous reverse passive Arthus reaction by approximately 72 and 86% at 1 and 5 mg/kg, respectively, compared with the vehicle control. The net optical density reading of extravasated dye extracted after treatment with R406 at 1 or 5 mg/kg R406 was reduced from 0.14 (vehicle) to 0.04 or 0.02, respectively.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

1. Gao D, Wang L, et al. "Spleen tyrosine kinase SYK(L) interacts with YY1 and coordinately suppresses SNAI2 transcription in lung cancer cells." FEBS J. 2018Sep 24.PMID:30251328

See more customer validations on www.apexbt.com.

References

[1] Braselmann S, Taylor V, Zhao H, et al. R406, an orally available spleen tyrosine kinase inhibitor blocks fc receptor signaling and reduces immune complex-mediated inflammation. Journal of Pharmacology and Experimental Therapeutics, 2006, 319(3): 998-1008.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for

long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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